

Page 1

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IPC reform
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USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
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INPADOC
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30 Saved answer limit increased
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Page 2

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COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY           SESSION
FULL ESTIMATED COST          0.21            0.21
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STRUCTURE FILE UPDATES: 2 FEB 2006 HIGHEST RN 873374-92-6
DICTIONARY FILE UPDATES: 2 FEB 2006 HIGHEST RN 873374-92-6

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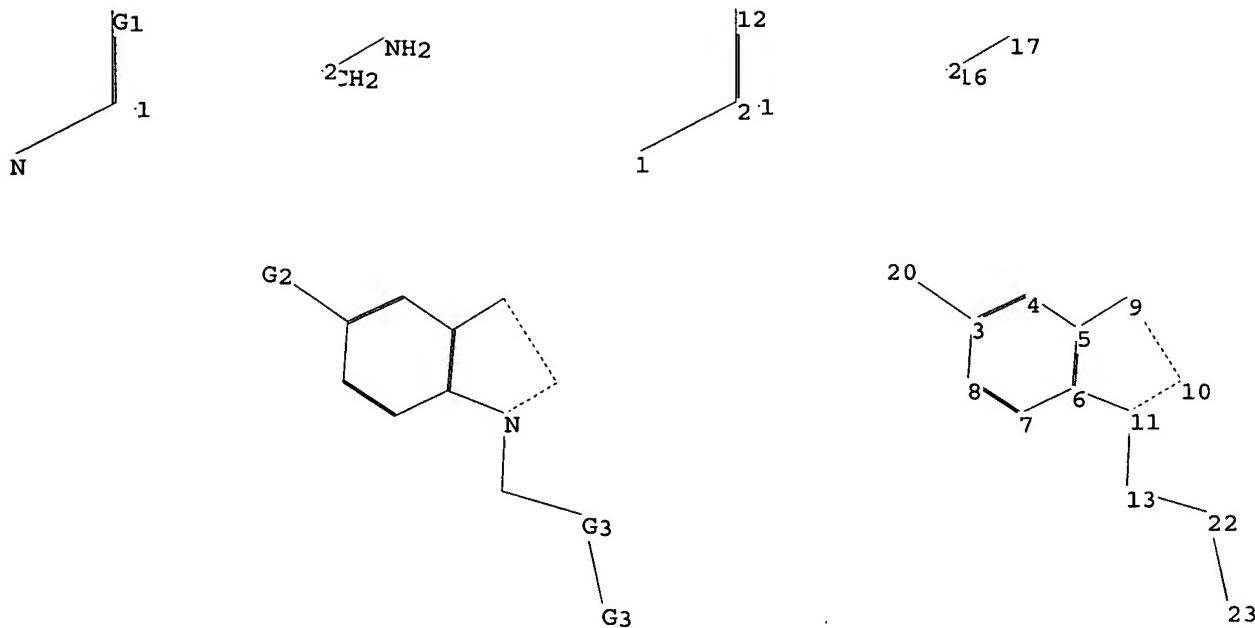
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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*
*****
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Structure search iteration limits have been increased. See HELP SLIMITS
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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document. For information
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=>
Uploading C:\Program Files\Stnexp\Queries\10824025\Struc 6.str



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ring nodes :
3 4 5 6 7 8 9 10 11
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ring bonds :
3-8 3-4 4-5 5-6 5-9 6-7 6-11 7-8 9-10 10-11
exact/norm bonds :
1-2 2-12 3-20 5-9 6-11 9-10 10-11 11-13 13-22 22-23
exact bonds :
16-17
normalized bonds :
3-8 3-4 4-5 5-6 6-7 7-8

G1:O,N
G2:[*1], [*2]
G3:Cb,Cy,Hy

Match level :
1:CLASS 2:CLASS 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 16:CLASS 17:CLASS 20:CLASS 22:CLASS 23:CLASS

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L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> l1
SAMPLE SEARCH INITIATED 13:44:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13675 TO ITERATE

14.6% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 266495 TO 280505
PROJECTED ANSWERS: 1 TO 292

L2 1 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 274582 TO ITERATE

100.0% PROCESSED 274582 ITERATIONS 150 ANSWERS
SEARCH TIME: 00.00.11

L3 150 SEA SSS FUL L1

=> file medline caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'MEDLINE' ENTERED AT 13:45:15 ON 04 FEB 2006

FILE 'CAPLUS' ENTERED AT 13:45:15 ON 04 FEB 2006
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=> l3
L4 8 L3

=> d ti 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of thienylisoxazolylmethylindolecarboxamides and related
compounds as factor Xa and/or VIIa inhibitors.

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Biaryl methyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of amide-substituted (hetero)aryl derivatives as inhibitors of microsomal triglyceride transfer protein (MTP) and apolipoprotein B (Apo B) secretion

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of indole-2-carboxamides as factor Xa inhibitors

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of heterocyclic compounds as inhibitors of factor Xa

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of aryl(carboxamido)azoles and analogs as modulators of molecules with phosphotyrosine recognition units

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Design, Synthesis, and Evaluation of Nonpeptidic Inhibitors of Human Rhinovirus 3C Protease

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of 1-(4-biphenyl)benzimidazoles as angiotensin II antagonists

=> d ibib abs hitstr 1

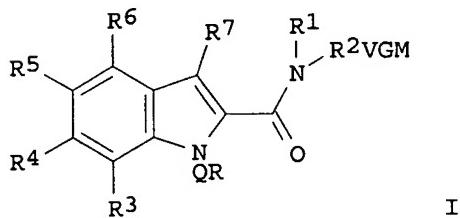
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1011965 CAPLUS
DOCUMENT NUMBER: 142:6513
TITLE: Preparation of thienylisoxazolylmethylindolecarboxamides and related compounds as factor Xa and/or VIIa inhibitors.
INVENTOR(S): Nazare, Marc; Wehner, Volkmar; Ritter, Kurt; Laux, Volker
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 97 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1479677	A1	20041124	EP 2003-11306	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2526066	AA	20041125	CA 2004-2526066	20040505
WO 2004101554	A1	20041125	WO 2004-EP4751	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005033049	A1	20050210	US 2004-848743	20040519
PRIORITY APPLN. INFO.:			EP 2003-11306	A 20030519
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OTHER SOURCE(S): MARPAT 142:6513
GI



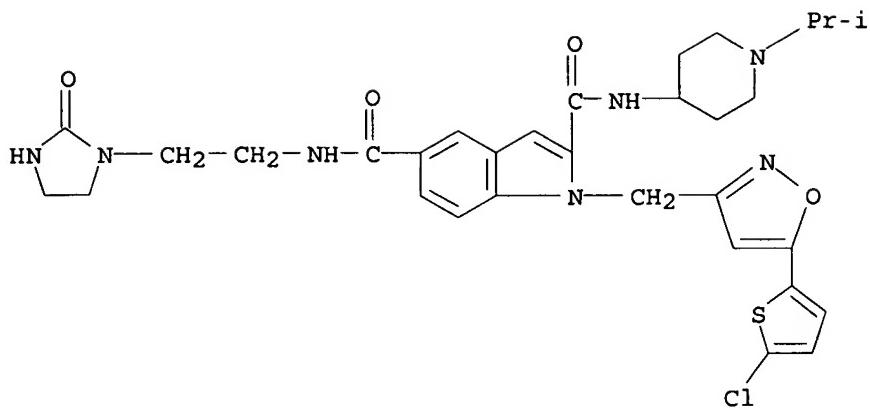
AB Title compds. [I; R = halo, NO₂, cyano, CONH₂, OH, NH₂, OCF₃, SO₂Me, (substituted) mono- or bicyclic 6-14 membered aryl, 4-15 membered heterocyclyl, etc.; Q = bond, aminocarbonylalkyl, NR₁₀CONR₁₀, NR₁₀CO, SO₂, alkylene, etc.; R₁ = H, (substituted) alkyl, aminocarbonylalkyl, 6-14 membered mono- or bicyclic aryl, etc.; R₂ = bond, alkylene; R₁R₇ = atoms to form a (substituted) 6-8 membered heterocyclic ring; V = (substituted) 3-7 membered heterocyclyl, 6-14 membered aryl, 4-15 membered heterocyclyl; G = bond, (CH₂)_mNR₁₀SO₂NR₁₀(CH₂)_n, (CH₂)_mCH(OH)(CH₂)_n, (CH₂)_mCONR₁₀, CH₂SO₂(CH₂)_n, (CH₂)_mO₂NR₁₀(CH₂)_n, etc.; m, n = 0-6; M = H, (substituted) alkyl, aminocarbonyl, (CH₂)_mNR₁₀, (substituted) 6-14 membered aryl, 4-15 membered heterocyclyl, cycloalkyl, etc.; R₃-R₇ = H, halo, NO₂, cyano, CONHCN, CONHSO₂Me, perfluoroalkyl, OH, specified azolyl, (substituted) alkyl, Ph, alkoxy, PhO, etc.; R₁₀ = H, alkyl, hydroxyalkyl, alkoxyalkyl, perfluoroalkyl], were prepared. Thus, 1-[5-(5-chlorothien-2-yl)isoxazol-3-ylmethyl]-2-(1-isopropylpiperidin-4-ylcarbamoyl)-1H-indole-5-carboxylic acid, Et₃N, 1-(2-hydroxyethyl)pyrrolidin-2-one, and BOP-Cl were stirred together for 16 h in CH₂Cl₂ to give 1-[5-(5-chlorothien-2-yl)isoxazol-3-ylmethyl]-2-(1-isopropylpiperidin-4-ylcarbamoyl)-1H-indole-5-carboxylic acid 2-(2-oxopyrrolidin-1-yl)ethyl ester obtained as the CF₃CO₂H salt. The latter inhibited factor Xa with Ki = 0.008 μM.

IT 796989-84-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of thienylisoxazolylmethylinolecarboxamides and related compds. as factor Xa and/or VIIa inhibitors)

RN 796989-84-9 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]-N5-[2-(2-oxo-1-imidazolidinyl)ethyl]- (9CI) (CA INDEX NAME)



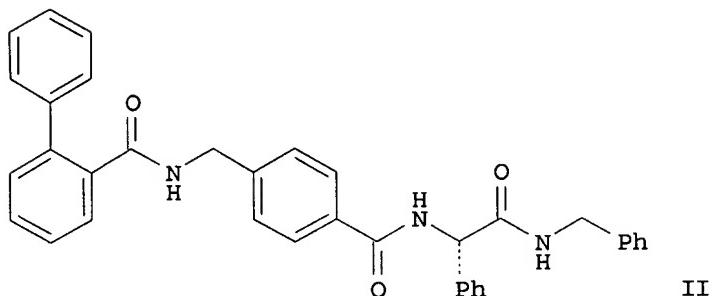
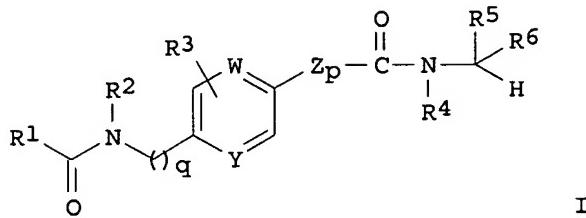
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:546481 CAPLUS
 DOCUMENT NUMBER: 141:106375
 TITLE: Preparation of amide-substituted (hetero)aryl derivatives as inhibitors of microsomal triglyceride transfer protein (MTP) and apolipoprotein B (Apo B) secretion
 INVENTOR(S): Bertinato, Peter; Bronk, Brian Scott; Cheng, Hengmiao; Chang, George; Cole, Bridget McCarthy; Li, Jin; Ruggeri, Roger Benjamin
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056777	A1	20040708	WO 2003-IB5809	20031208
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505604	AA	20040708	CA 2003-2505604	20031208
EP 1578725	A1	20050928	EP 2003-777054	20031208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017323	A	20051116	BR 2003-17323	20031208

US 2004132745 PRIORITY APPLN. INFO.:	A1 20040708	US 2003-742197 US 2002-435377P WO 2003-IB5809	20031219 P 20021220 W 20031208
OTHER SOURCE(S): GI	MARPAT 141:106375		



AB Title compds. I [R1 = substituted (hetero)aryl; R2 = H, (cyclo)alkyl, acyl, etc.; p, q = 0-1; R3 = H, halo, alkyl, haloalkyl, etc.; Y, W = substituted alkyl, N, etc.; Z = SCH2, CH2, OCH2; R4 = H, (cyclo)alkyl, acyl, etc.; R5 = alkyl, Ph, heteroaryl; R6 = H, alkyl, etc.] are prepared. For instance, 4-[(4'-trifluoromethylbiphenyl-2-carbonyl)amino]methyl]benzoic acid Me ester (preparation given) is saponified

and coupled to (S)-N-benzyl-2-amino-2-phenylacetamide hydrochloride. (CH2Cl2, i-Pr2NET, PyBOP) to give II. I are inhibitors of microsomal triglyceride transfer protein (MTP) and/or apolipoprotein B (Apo B) secretion; they are useful for the treatment of obesity and related diseases, as well as prevention and treatment of atherosclerosis and its clin. sequelae, for lowering serum lipids and in the prevention and treatment of related diseases.

IT 720683-27-2P 720683-28-3P 720683-29-4P
720683-30-7P 720683-31-8P 720683-32-9P
720683-33-0P 720683-34-1P 720683-35-2P
720683-36-3P 720683-37-4P 720683-38-5P
720683-39-6P 720683-40-9P 720683-41-0P
720683-42-1P 720683-43-2P 720683-44-3P
720683-45-4P 720683-46-5P 720683-48-7P
720683-49-8P 720683-50-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

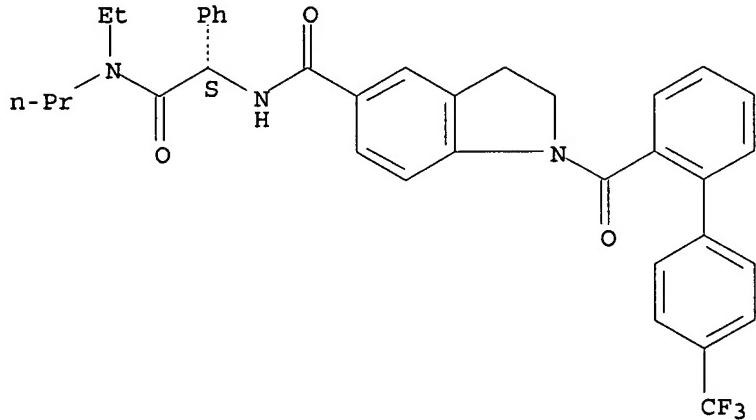
(preparation of amide-substituted (hetero)aryl derivs. as inhibitors of microsomal triglyceride transfer protein (MTP) and apolipoprotein B

(Apo B) secretion)

RN 720683-27-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-(ethylpropylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

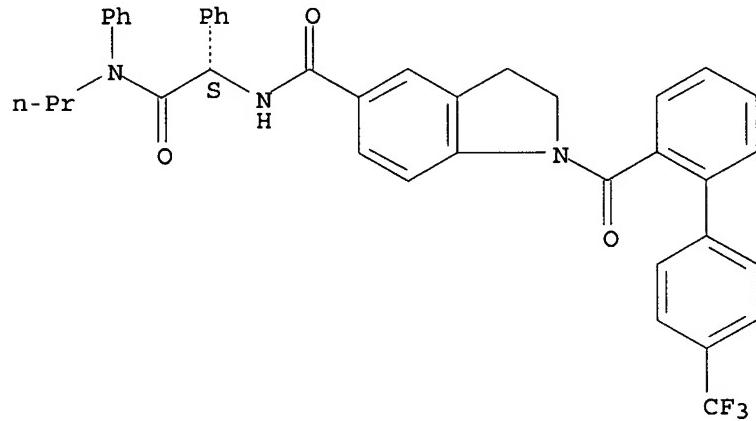
Absolute stereochemistry.



RN 720683-28-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-oxo-1-phenyl-2-(phenylpropylamino)ethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

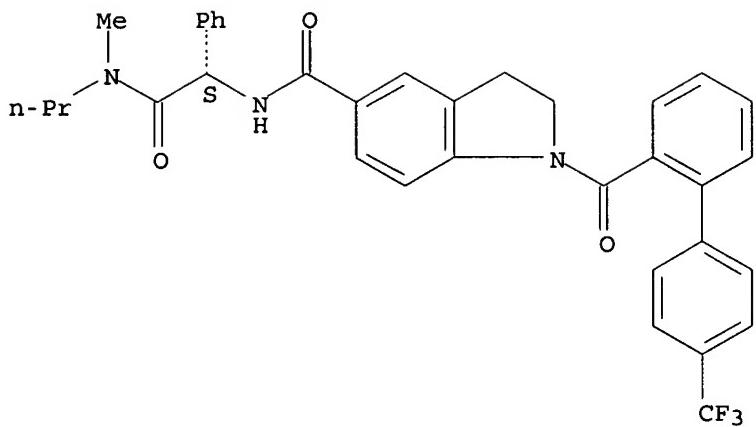
Absolute stereochemistry.



RN 720683-29-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-(methylpropylamino)-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

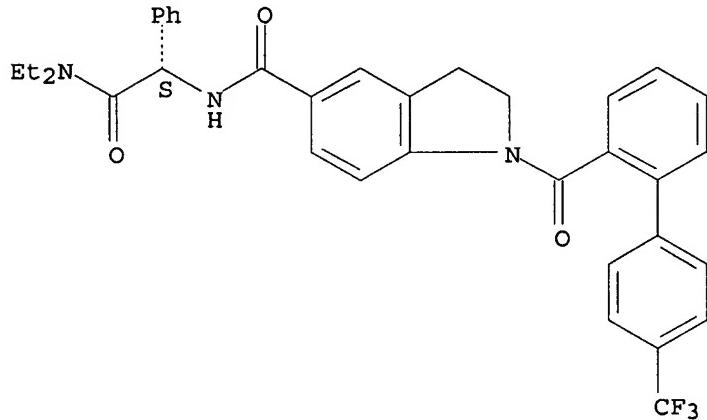
Absolute stereochemistry.



RN 720683-30-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-(diethylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

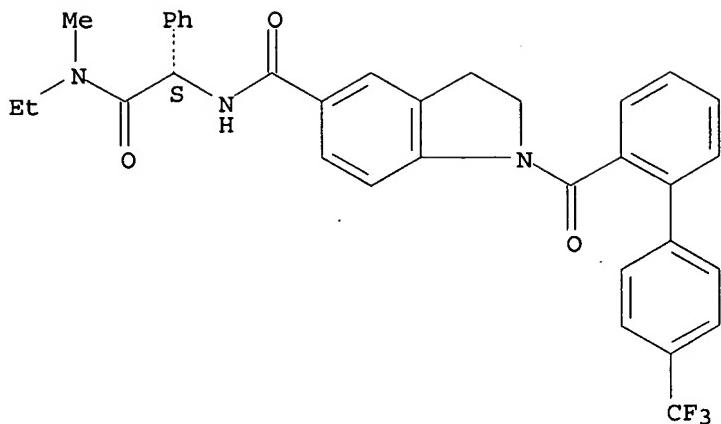
Absolute stereochemistry.



RN 720683-31-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-(ethylmethylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

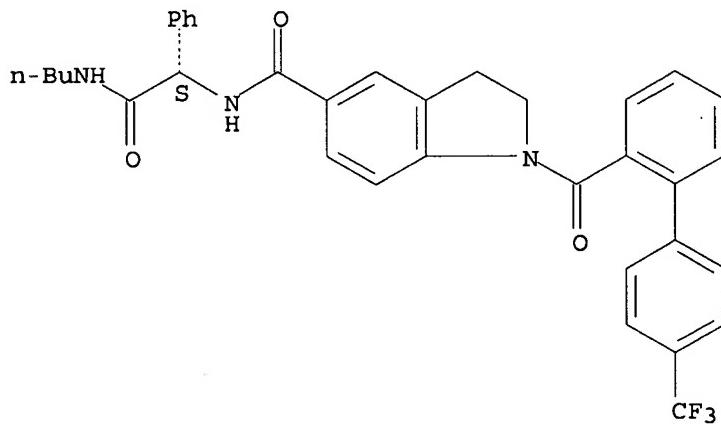
Absolute stereochemistry.



RN 720683-32-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-(butylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

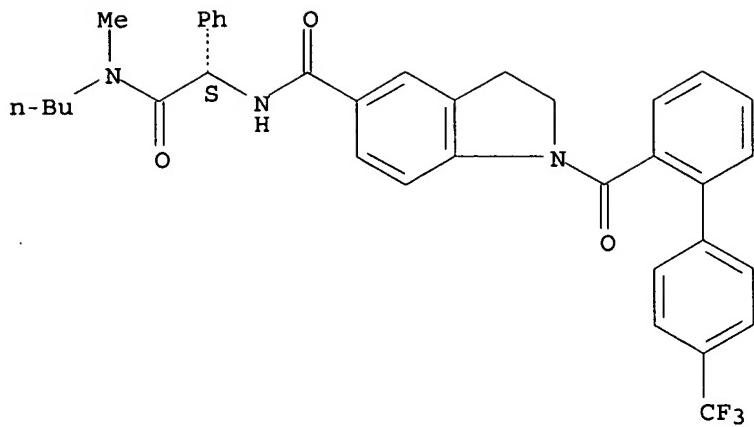
Absolute stereochemistry.



RN 720683-33-0 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-(butylmethylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

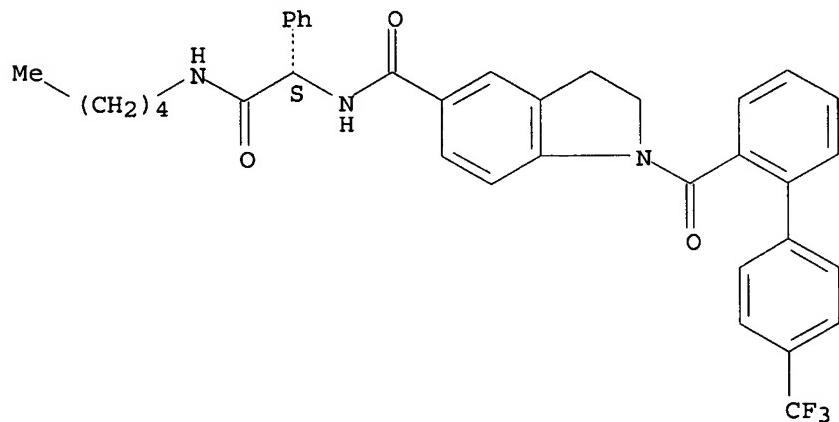
Absolute stereochemistry.



RN 720683-34-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-oxo-2-(pentylamino)-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

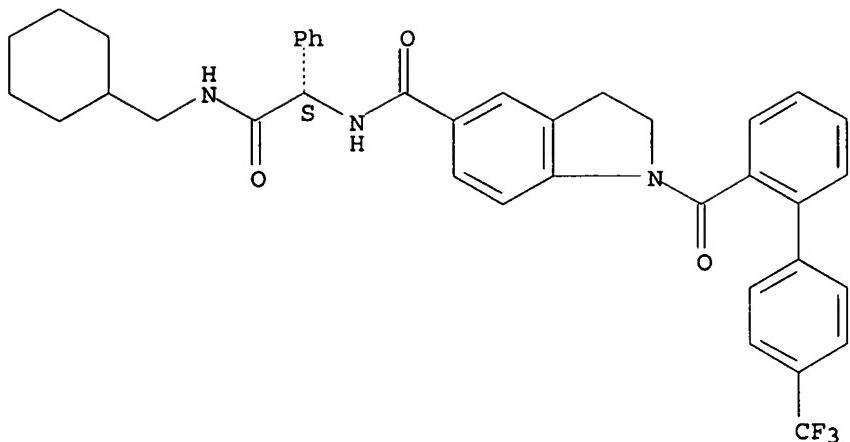
Absolute stereochemistry.



RN 720683-35-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-[(cyclohexylmethyl)amino]-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

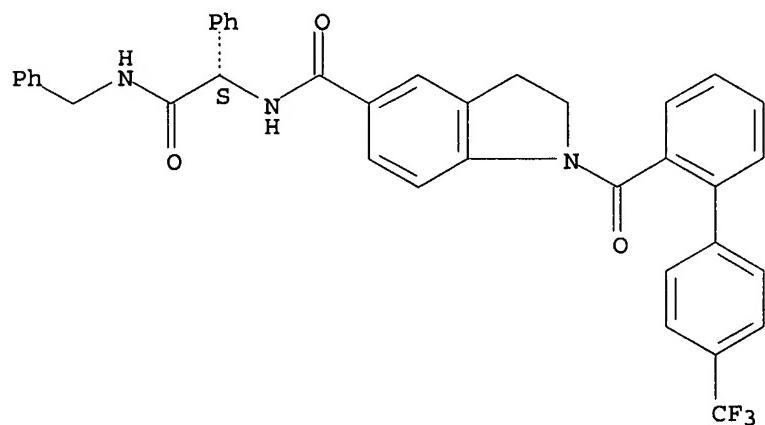
Absolute stereochemistry.



RN 720683-36-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-oxo-1-phenyl-2-[(phenylmethyl)amino]ethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]-(9CI) (CA INDEX NAME)

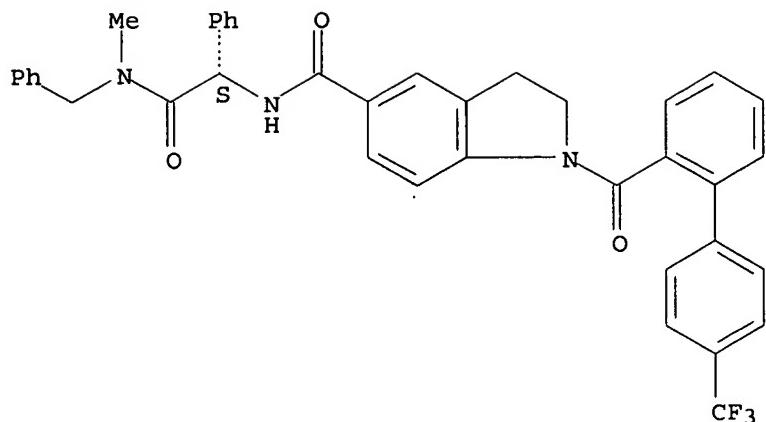
Absolute stereochemistry.



RN 720683-37-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[(methyl(phenylmethyl)amino)-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]-(9CI) (CA INDEX NAME)

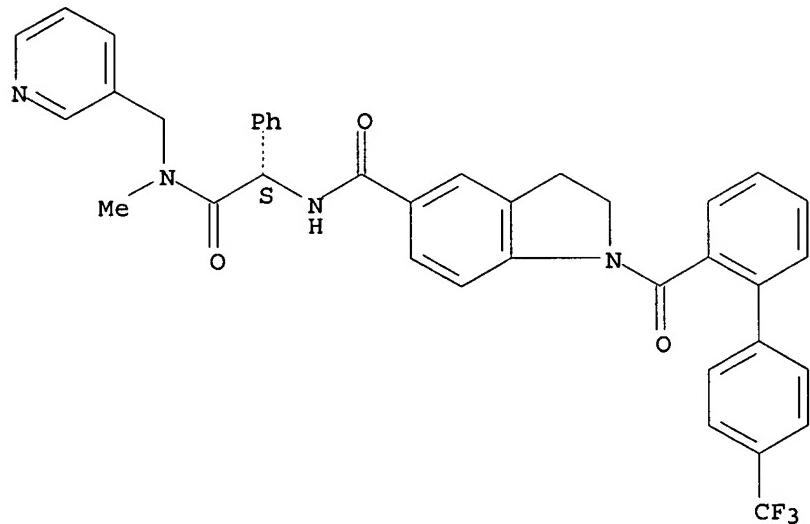
Absolute stereochemistry.



RN 720683-38-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[methyl(3-pyridinylmethyl)amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

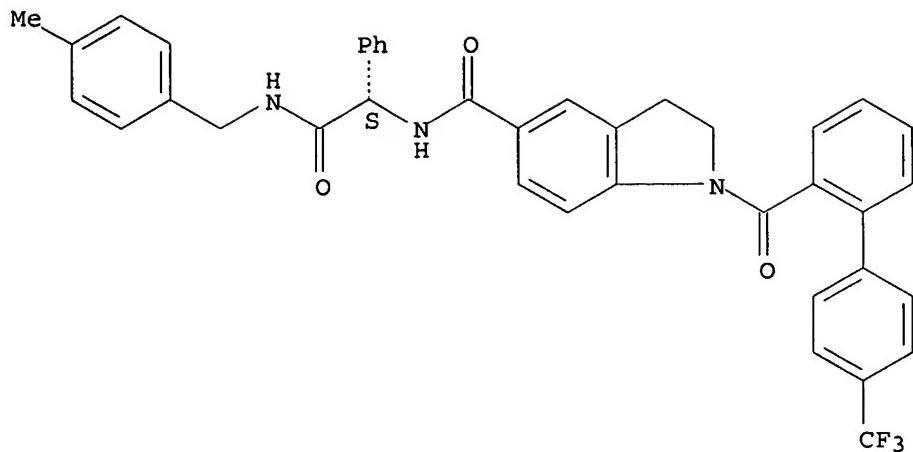
Absolute stereochemistry.



RN 720683-39-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[[[4-(methylphenyl)methyl]amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

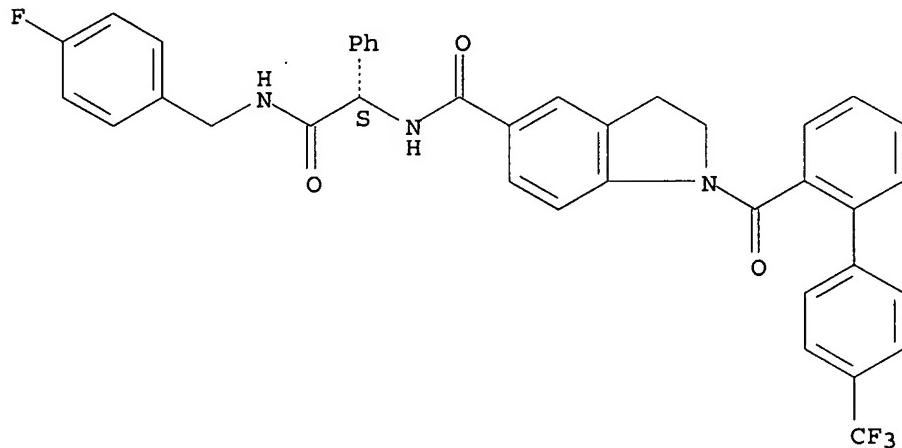
Absolute stereochemistry.



RN 720683-40-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-[[[(4-fluorophenyl)methyl]amino]-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

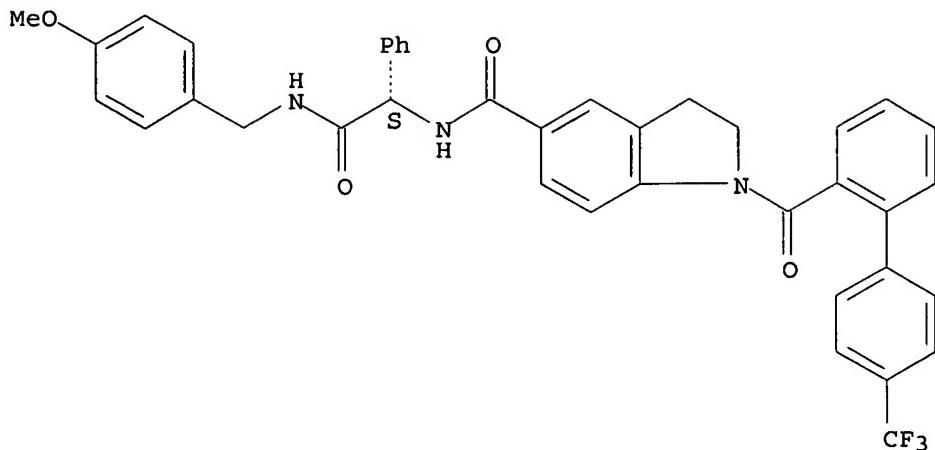
Absolute stereochemistry.



RN 720683-41-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[[[(4-methoxyphenyl)methyl]amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

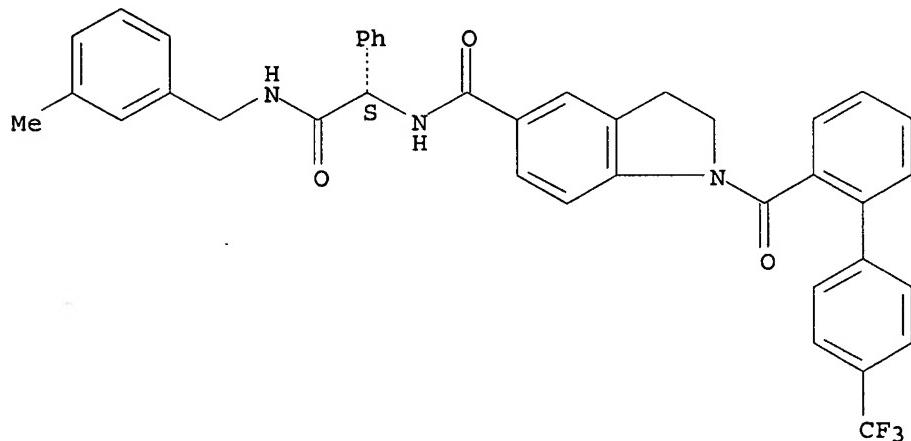
Absolute stereochemistry.



RN 720683-42-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[[[(3-methylphenyl)methyl]amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

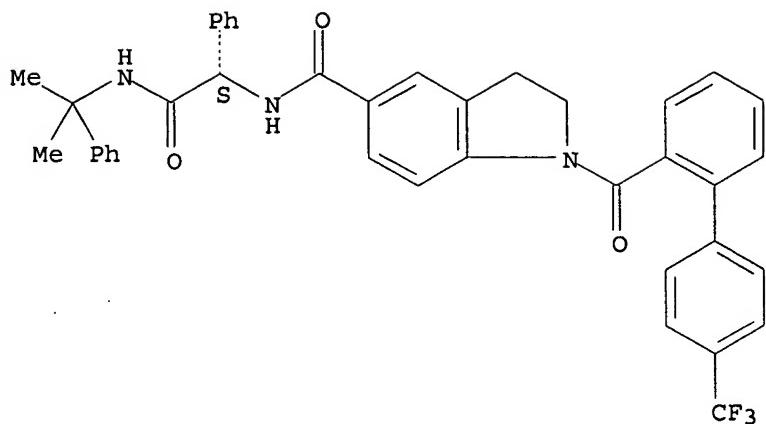
Absolute stereochemistry.



RN 720683-43-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[(1-methyl-1-phenylethyl)amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

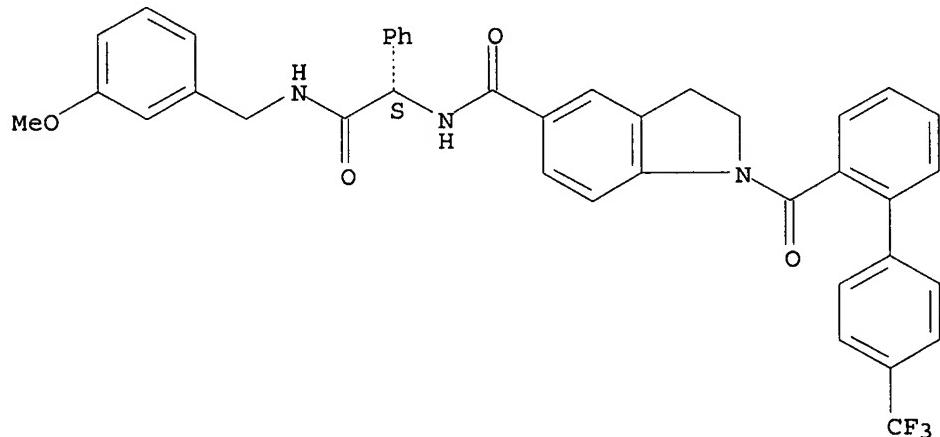
Absolute stereochemistry.



RN 720683-44-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-[[[3-methoxyphenyl)methyl]amino]-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

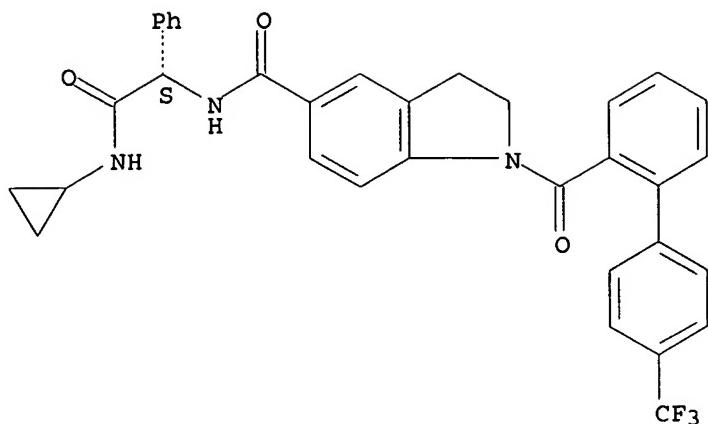
Absolute stereochemistry.



RN 720683-45-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(1S)-2-[(cyclopropylamino)-2-oxo-1-phenylethyl]-2,3-dihydro-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

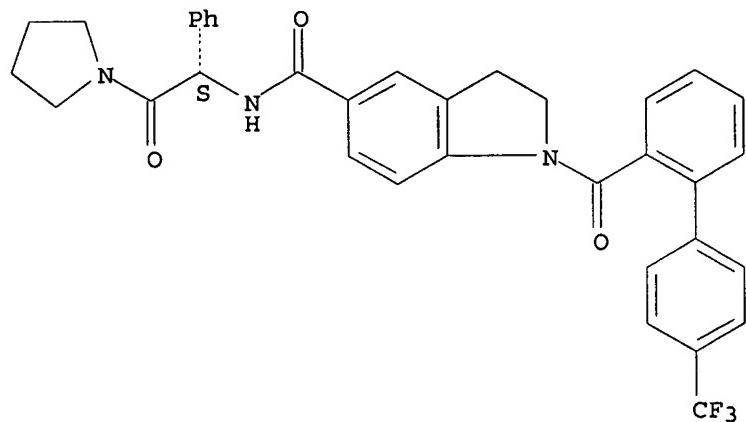
Absolute stereochemistry.



RN 720683-46-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-oxo-1-phenyl-2-(1-pyrrolidinyl)ethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]-(9CI) (CA INDEX NAME)

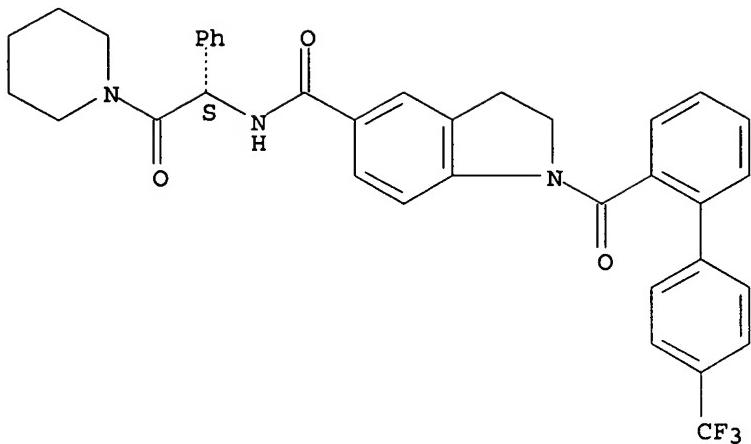
Absolute stereochemistry.



RN 720683-48-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-oxo-1-phenyl-2-(1-piperidinyl)ethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]-(9CI) (CA INDEX NAME)

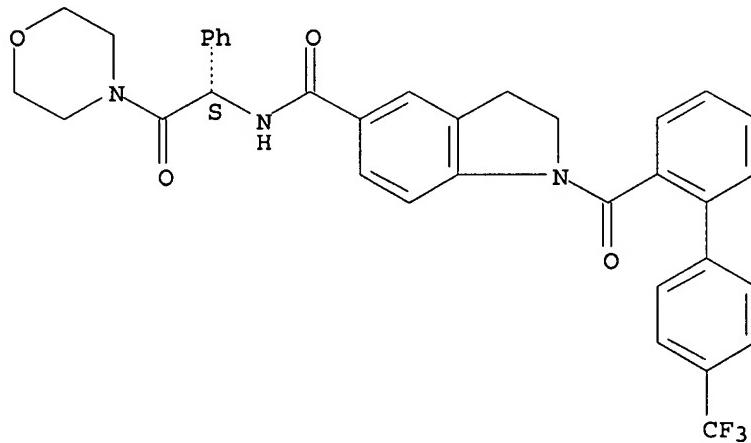
Absolute stereochemistry.



RN 720683-49-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-(4-morpholinyl)-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

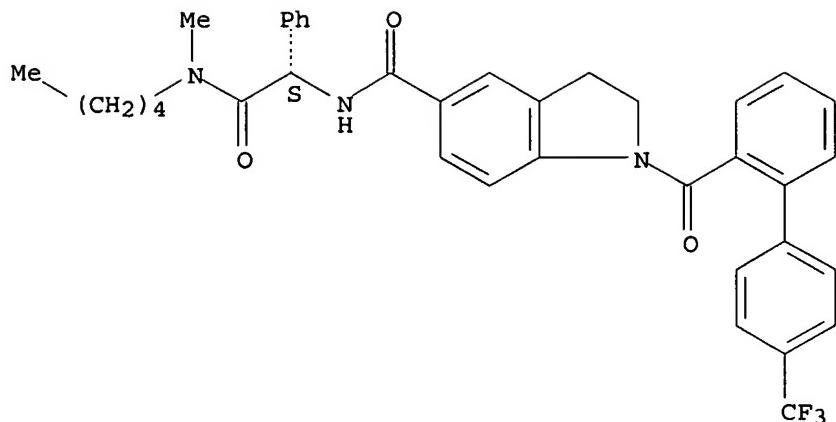
Absolute stereochemistry.



RN 720683-50-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(1S)-2-(methylpentylamino)-2-oxo-1-phenylethyl]-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

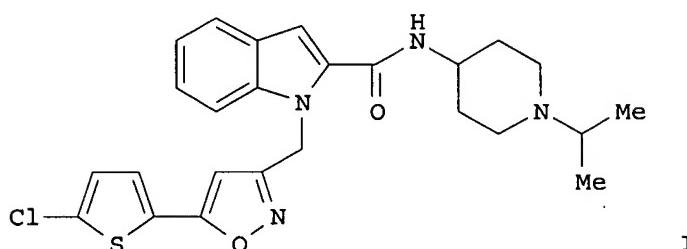
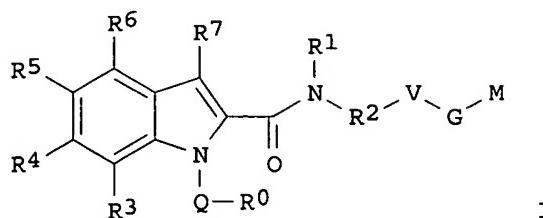


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:355668 CAPLUS
 DOCUMENT NUMBER: 140:357208
 TITLE: Preparation of indole-2-carboxamides as factor Xa inhibitors
 INVENTOR(S): Nazare, Marc; Essrich, Melanie; Will, David William;
 Mattter, Hans; Ritter, Kurt; Wehner, Wolfram
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 230 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
WO 2003044014	C1	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1314733	A1	20030528	EP 2001-127809	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2467374	AA	20030530	CA 2002-2467374	20021108
AU 2002351918	A1	20030610	AU 2002-351918	20021108
EP 1451185	A1	20040901	EP 2002-787604	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014396	A	20040914	BR 2002-14396	20021108
JP 2005514365	T2	20050519	JP 2003-545651	20021108

NZ 533044 NO 2004002592 PRIORITY APPLN. INFO.:	A A	20051125 20040621	NZ 2002-533044 NO 2004-2592 EP 2001-127809 WO 2002-EP12500	20021108 20040621 A 20011122 W 20021108
OTHER SOURCE(S) : GI	MAPAT 140:357208			



AB The title compds. I [wherein R0 = (un)substituted monocyclic or bicyclic (hetero)aryl; Q = a bond, CO, SO2, or (un)substituted (CH2)0-2CONH, NHCONH, NHCO, or (cyclo)alkylene; R1 = H or (un)substituted alkyl; R2 = a bond or alkylene; or NR1R2V = (un)substituted heterocyclyl; R3-R7 = independently H, halo, NO2, CN, OH, or (un)substituted alkyl, alkoxy, Ph, PhO, carbamoyl, sulfamoyl, acyl, etc.; or R1 and R7 together with the atoms to which they are attached = (un)substituted mono-, di-, or trisubstituted heterocyclyl; V = (un)substituted (hetero)cyclyl or (hetero)aryl; G = a bond or alkylene optionally interrupted by (un)substituted NHSO2NH, CHOH, O, CONH, SO2, NHCONH, NHCO, CO, S, SO2NH, NHSO2, NH, OCO, or NHCO2; M = H or (un)substituted (amino)alkyl, carbamoyl, (hetero)aryl, or (hetero)cycloalkyl; and stereoisomers, mixts., and physiol. tolerable salts thereof] where prepared as reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa) with strong antithrombotic effect. For example, 1-[[5-(5-chlorothiophen-2-yl)isoxazol-3-yl]methyl]-1H-indole-2-carboxylic acid was amidated with 1-isopropylpiperidin-4-ylamine•HCl (preps. given) in the presence of BOP-Cl, Et3N, and DCM and the product purified by preparative HPLC using a H2O/MeCN gradient with 0.1% TFA to afford II•TFA. In a chromogenic assay, the latter exhibited a Ki value of 0.0033 μM against human factor Xa. Thus, I and their pharmaceutical compns. are useful for the therapy and prophylaxis of cardiovascular disorders, such as thromboembolic diseases or restenoses (no data).

IT 681288-95-9P

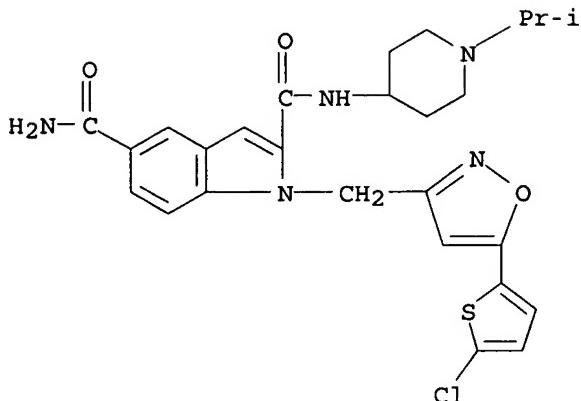
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; preparation of indolecarboxamides as factor Xa inhibitors for treatment of thrombotic and cardiovascular disorders)

RN 681288-95-9 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-

isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX
NAME)

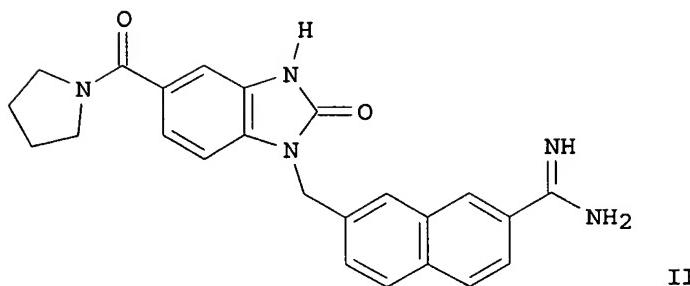
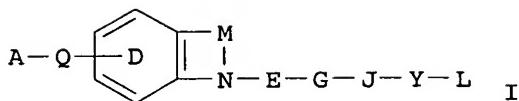


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:137189 CAPLUS
 DOCUMENT NUMBER: 134:193446
 TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
 INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane;
 Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire,
 Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng,
 Willy; Zhang, Penglie
 PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
 SOURCE: PCT Int. Appl., 387 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810
WO 2001012600	C2	20020912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6534535	B1	20030318	US 2000-636804	20000810
PRIORITY APPLN. INFO.:			US 1999-148627P	P 19990812
			US 2000-202202P	P 20000505

OTHER SOURCE(S): MARPAT 134:193446
GI



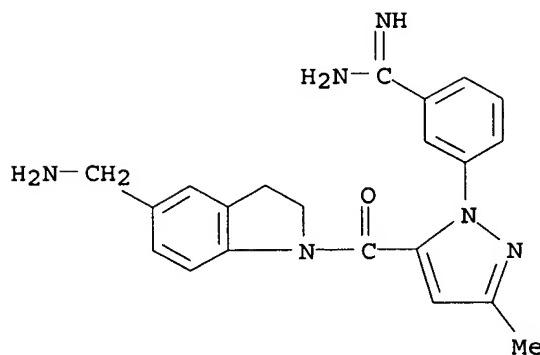
AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH₂, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR₁₆CO, NR₁₆CS, CR₁₇R₁₈CO, etc.; R₁₆-R₁₈ = H, halo, alkyl, etc.; E = a direct link, CO, CONR₅, etc.; R₅ = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR₇R₈, CR_{7a}R_{8a}CR_{7b}R_{8b}, CR_{7c}:CR_{8c}; R₇, R₈, R_{7a}, R_{7b}, R_{7c}, R_{8a}, R_{8b}, R_{8c} = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR₁₂R₁₃; R₁₂, R₁₃ = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared and formulated. E.g., a multi-step synthesis of the title compound II was given.

IT 327045-68-1P 327045-74-9P

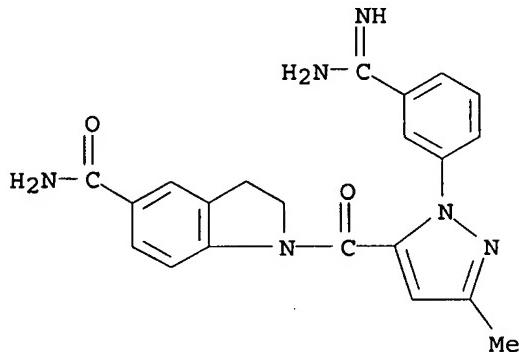
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as inhibitors of factor Xa)

RN 327045-68-1 CAPLUS

CN 1H-Indole-5-methanamine, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 327045-74-9 CAPLUS
 CN 1H-Indole-5-carboxamide, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



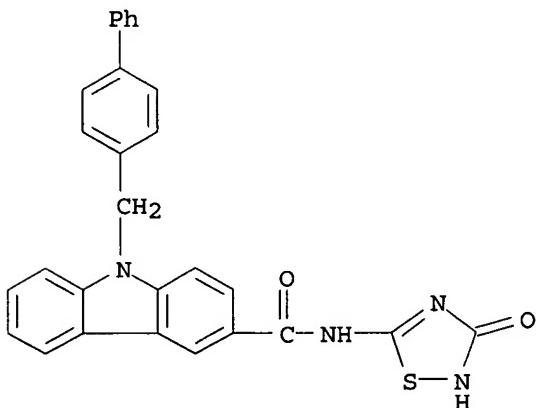
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:717892 CAPLUS
 DOCUMENT NUMBER: 128:3688
 TITLE: Preparation of aryl(carboxamido)azoles and analogs as modulators of molecules with phosphotyrosine recognition units
 INVENTOR(S): Andersen, Henrik Sune; Moller, Niels Peter Hundahl; Madsen, Peter
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 79 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

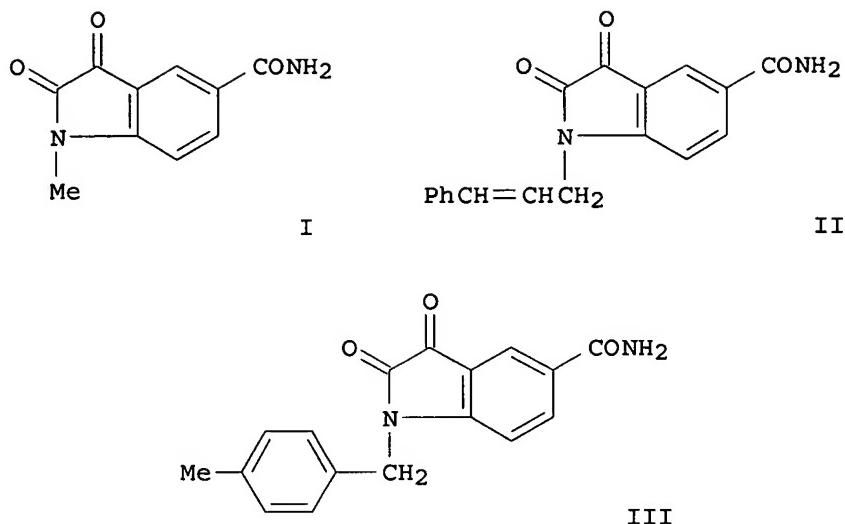
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740017	A2	19971030	WO 1997-DK166	19970417
WO 9740017	A3	19971211		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5958957	A	19990928	US 1997-842801	19970416
AU 9723813	A1	19971112	AU 1997-23813	19970417
JP 2000511883	T2	20000912	JP 1997-537609	19970417
ZA 9703349	A	19980120	ZA 1997-3349	19970418
US 5972978	A	19991026	US 1999-252883	19990219
US 6063800	A	20000516	US 1999-253443	19990219
US 6080770	A	20000627	US 1999-253419	19990219
PRIORITY APPLN. INFO.:			DK 1996-464	A 19960419
			US 1996-22116P	P 19960717

US 1997-842801 A3 19970416
WO 1997-DK166 W 19970417

- OTHER SOURCE(S): MARPAT 128:3688
- AB R1ZR [R = NHSO₃, CONHOH, azolyl, etc.; R1 = (un)substituted (un)substituted (hetero)aryl, (di)(alkyl)amino, etc.; Z = bond, alkylene, CONH, (alkyl)imino, etc.] were prepared as modulators of mols. with phosphotyrosine recognition units, e.g., as protein tyrosine phosphatase inhibitors, (no data). Thus, Et 2-naphthalenecarboxylate was amidated by H₂NNH₂ and the product cyclocondensed with CS₂ to give 5-(2-naphthyl)-1,3,4-oxadiazol-2(3H)-thione.
- IT 198894-16-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl(carboxamido)azoles and analogs as modulators of mols. with phosphotyrosine recognition units)
- RN 198894-16-5 CAPLUS
- CN 9H-Carbazole-3-carboxamide, 9-([1,1'-biphenyl]-4-ylmethyl)-N-(2,3-dihydro-3-oxo-1,2,4-thiadiazol-5-yl) - (9CI) (CA INDEX NAME)



- L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:712949 CAPLUS
DOCUMENT NUMBER: 126:54470
TITLE: Design, Synthesis, and Evaluation of Nonpeptidic Inhibitors of Human Rhinovirus 3C Protease
Webber, Stephen E.; Tikhe, Jayashree; Worland, Stephen T.; Fuhrman, Shella A.; Hendrickson, Thomas F.; Matthews, David A.; Love, Robert A.; Patick, Amy K.; Meador, James W.; et al.
AUTHOR(S):
CORPORATE SOURCE: Agouron Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5072-5082
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:54470
GI



- AB The design, synthesis, and biol. evaluation of reversible, nonpeptidic inhibitors of human rhinovirus (HRV) 3C protease (3CP) are reported. A novel series of 2,3-dioxindoles (isatins) were designed that utilized a combination of protein structure-based drug design, mol. modeling, and structure-activity relationship (SAR). The C-2 carbonyl of isatin was envisioned to react in the active site of HRV 3CP with the cysteine responsible for catalytic proteolysis, thus forming a stabilized transition state mimic. Mol.-modeling expts. using the apo crystal structure of human rhinovirus-serotype 14 (HRV-14) 3CP and a peptide substrate model allowed the authors to design recognition features into the P1 and P2 subsites, resp., from the 5- and 1-positions of isatin. Attempts to optimize recognition properties in the P1 subsite using SAR at the 5-position were performed. In addition, a series of ab initio calcns. were carried out on several 5-substituted isatins to investigate the stability of sulfide adducts at C-3. The inhibitors were prepared by general synthetic methods, starting with com. available 5-substituted isatins in nearly every case. All compds. were tested for inhibition of purified HRV-14 3CP. Compds. I, II, and III were found to have excellent selectivity for HRV-14 3CP compared to other proteolytic enzymes, including chymotrypsin and cathepsin B. Selected compds. were assayed for antiviral activity against HRV-14-infected HI-HeLa cells. A 2.8 Å cocrystal structure of derivative III covalently bound to human rhinovirus-serotype 2 (HRV-2) 3CP was solved and revealed that the isatin was situated in essentially the same conformation as modeled.

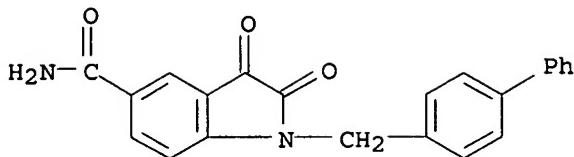
IT 184904-81-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

RN (design, synthesis, and evaluation of nonpeptidic inhibitors of human rhinovirus 3C protease)

CN 184904-81-2 CAPLUS

1H-Indole-5-carboxamide, 1-([1,1'-biphenyl]-4-ylmethyl)-2,3-dihydro-2,3-dioxo- (9CI) (CA INDEX NAME)



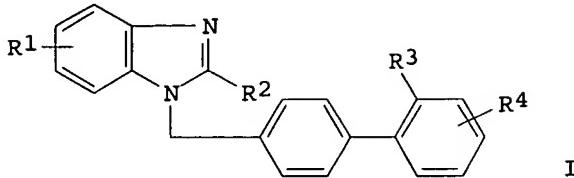
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:448554 CAPLUS
 DOCUMENT NUMBER: 117:48554
 TITLE: Preparation of 1-(4-biphenylyl)benzimidazoles as angiotensin II antagonists
 INVENTOR(S): Narr, Berthold; Hauel, Norbert; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael; Ries, Uwe
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
 SOURCE: Eur. Pat. Appl., 72 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468470	A1	19920129	EP 1991-112404	19910722
EP 468470	B1	19970416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4023369	A1	19920130	DE 1990-4023369	19900723
DE 4031287	A1	19920409	DE 1990-4031287	19901004
DE 4105324	A1	19920827	DE 1991-4105324	19910220
SU 1836357	A3	19930823	SU 1991-5001010	19910704
CA 2047496	AA	19920124	CA 1991-2047496	19910722
CA 2047496	C	20011023		
FI 9103503	A	19920124	FI 1991-3503	19910722
FI 105811	B1	20001013		
NO 9102859	A	19920124	NO 1991-2859	19910722
NO 178927	B	19960325		
NO 178927	C	19960703		
HU 58298	A2	19920228	HU 1991-2456	19910722
JP 04253966	A2	19920909	JP 1991-181033	19910722
JP 2539113	B2	19961002		
ZA 9105717	A	19930331	ZA 1991-5717	19910722
AT 151766	E	19970515	AT 1991-112404	19910722
ES 2100907	T3	19970701	ES 1991-112404	19910722
AU 9181227	A1	19920130	AU 1991-81227	19910723
AU 640505	B2	19930826		
IL 98933	A1	19951231	IL 1991-98933	19910723
KR 208548	B1	19990715	KR 1991-12580	19910723
US 5385925	A	19950131	US 1994-220472	19940330
US 5587393	A	19961224	US 1994-299693	19940901
US 5684029	A	19971104	US 1996-603773	19960220
PRIORITY APPLN. INFO.:			DE 1990-4023369	A 19900723
			DE 1990-4031287	A 19901004
			DE 1991-4105324	A 19910220
			US 1991-732868	B1 19910719

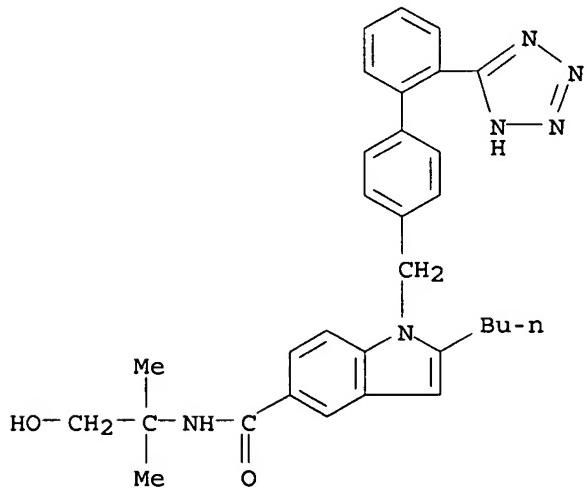
OTHER SOURCE(S):
GI

MARPAT 117:48554

US 1994-220472
US 1994-299693A3 19940330
A3 19940901

AB Title compds. [I; R1 = tetrahydrobenzimidazolyl, imidazopyridyl, (substituted) benzimidazolyl, benzoxazolyl, etc.; R2 = H, (S-interrupted) alkyl; R3 = carboxy, cyano, tetrazolyl, 1-triphenylmethyltetrazolyl, alkoxy carbonyl; R4 = H, F, Cl, Br], and their isomeric mixts. and salts, were prepared. Thus, 2-propyl-5-(1-methylbenzimidazol-2-yl)benzimidazole (preparation from Me 3,4-diaminobenzoate.2HCl given) and tert-Bu 4'-bromomethyl biphenyl-2-carboxylate were stirred 15 h with KOCMe3 in Me2SO to give 70% coupling products, which were treated with CF3CO2H in CH2Cl2 to give a mixture of 4' - [[2-propyl-5-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl]methyl]biphenyl-2-carboxylic acid and 4' - [[2-propyl-6-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl]methyl]biphenyl-2-carboxylic acid. I antagonized angiotensin II in rats with pA2 values of 6.0-7.5. I, at up to 30 mg/kg i.v., were without toxic side effects, e.g., neg. inotropic activity.

IT 141864-64-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

RN 141864-64-4 CAPLUS**CN** 1H-Indole-5-carboxamide, 2-butyl-N-(2-hydroxy-1,1-dimethylethyl)-1-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 13:44:17 ON 04 FEB 2006)

FILE 'REGISTRY' ENTERED AT 13:44:24 ON 04 FEB 2006

L1 STRUCTURE UPLOADED
L2 1 L1
L3 150 L1 FULL

FILE 'MEDLINE, CAPLUS' ENTERED AT 13:45:15 ON 04 FEB 2006

L4 8 L3

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST	43.64	210.79
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE	-5.25	-5.25
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STN INTERNATIONAL LOGOFF AT 13:47:56 ON 04 FEB 2006